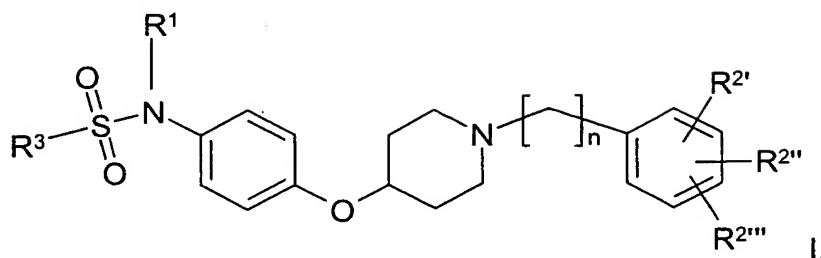


Patent Claims

1. Compounds of the general formula I

5



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in which

R^1 is H or A,

$R^{2'}$, $R^{2''}$, $R^{2'''}$ are each, independently of one another, H, A, OH, OCH₃, OCF₃, Hal, CN, COOR¹, CONR¹ or NO₂,

R^3 is A, Ar or A-Ar,

15

R^4 is H or A,

A is unbranched or branched alkyl having 1-10 carbon atoms, in which one or two CH₂ groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or 1-7 H atoms may also be replaced by F,

20

Ar is phenyl, naphthyl or biphenyl, each of which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OR⁴, N(R⁴)₂, NO₂, CN, COOR⁴, CON(R⁴)₂, NR⁴COA, NR⁴CON(R⁴)₂, NR⁴SO₂A, COR⁴, SO₂N(R⁴)₂ or SO₂A,

A-Ar is arylalkyl, where A and Ar have one of the above-mentioned meanings,

25

Hal is F, Cl, Br or I, and

n is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10,

and solvates, stereoisomers and pharmaceutically usable derivatives, thereof, including mixtures thereof in all ratios.

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2. Compounds according to Claim 1, in which

R¹ is hydrogen,
and solvates, stereoisomers and pharmaceutically usable derivatives
thereof, including mixtures thereof in all ratios.

5 3. Compounds according to Claim 1 or 2, in which
R², R^{2'}, R^{2''} are hydrogen,
and solvates, stereoisomers and pharmaceutically usable derivatives
thereof, including mixtures thereof in all ratios.

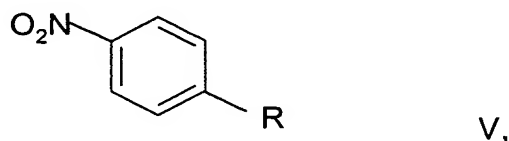
10 4. Compounds according to one or more of Claims 1-3, in which
R³ is n-propyl, i-propyl, n-butyl, 2,2,2-trifluoroethyl, phenyl, benzyl
or 2-nitrophenylmethyl,
and solvates, stereoisomers and pharmaceutically usable derivatives
thereof, including mixtures thereof in all ratios.

15 5. Compounds according to one or more of Claims 1-4, in which
n is 1,
and solvates, stereoisomers and pharmaceutically usable derivatives
thereof, including mixtures thereof in all ratios.

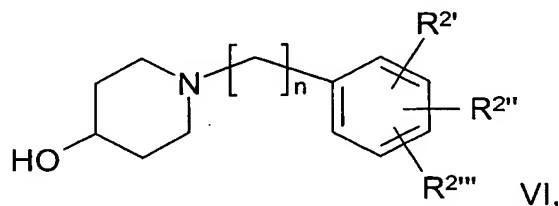
20 6. Compounds according to Claim 1 selected from the group consisting
of
N-[4-(1-benzylpiperidin-4-yloxy)phenyl]-C-phenylmethanesulfon-
amide,
25 N-[4-(1-benzylpiperidin-4-yloxy)phenyl]-C-[2-nitrophenyl]methane-
sulfonamide,
N-[4-(1-benzylpiperidin-4-yloxy)phenyl]benzenesulfonamide,
N-[4-(1-benzylpiperidin-4-yloxy)phenyl]-2-propanesulfonamide,
N-[4-(1-benzylpiperidin-4-yloxy)phenyl]-1-butanesulfonamide,
30 N-[4-(1-benzylpiperidin-4-yloxy)phenyl]-1-propanesulfonamide,
N-[4-(1-benzylpiperidin-4-yloxy)phenyl]-1-2,2,2-trifluoroethanesulfon-
amide,

and solvates, stereoisomers and pharmaceutically usable derivatives thereof, including mixtures thereof in all ratios.

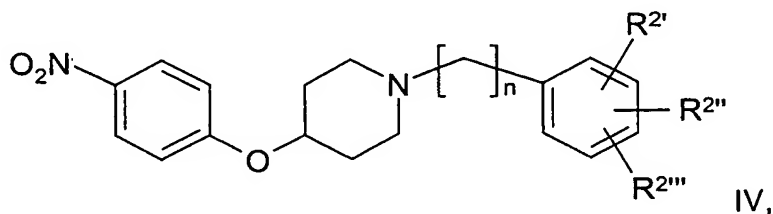
7. Process for the preparation of compounds of the formula I according to Claims 1-6 and pharmaceutically usable derivatives, solvates and stereoisomers thereof, characterised in that
- a) a compound of the formula V



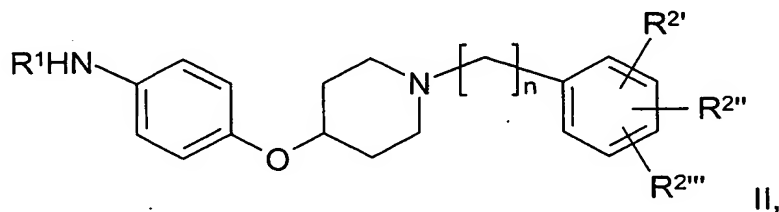
in which R is a nucleophilic leaving group usually employed for nucleophilic substitutions on aromatic compounds, is reacted with a compound of the formula VI



in which $R^{2'}$, $R^{2''}$, $R^{2'''}$ and n are as defined in Claim 1, giving a compound of the formula IV

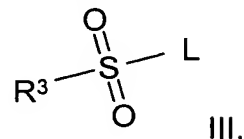


- b) the resultant phenoxy-piperidine of the formula IV is converted by hydrogenation and optionally alkylation into a compound of the formula II



in which R¹ is as defined in Claim 1, which is then

c) reacted further with a compound of the formula III



in which R³ is as defined in Claim 1, and L is a nucleophilic leaving group known per se, giving a compound of the formula I, and optionally a protecting group is subsequently cleaved off, and/or a base or acid of the formula I is converted into one of its salts.

10

8. Compounds of the formula I and pharmaceutically usable derivatives, solvates and stereoisomers thereof according to one or more of Claims 1 to 6 as effectors of the nicotinic acetylcholine receptor.

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9. Compounds of the formula I and pharmaceutically usable derivatives, solvates and stereoisomers thereof according to one or more of Claims 1 to 6 as effectors of the muscarinic acetylcholine receptor.

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10. Compounds of the formula I and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, according to one or more of Claims 1 to 6 as medicaments.

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11. Medicaments comprising at least one compound of the formula I and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, according to one or more of Claims 1 to 6, and optionally excipients and/or adjuvants.

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12. Medicaments comprising at least one compound of the formula I and/or pharmaceutically usable derivatives, solvates and stereo-

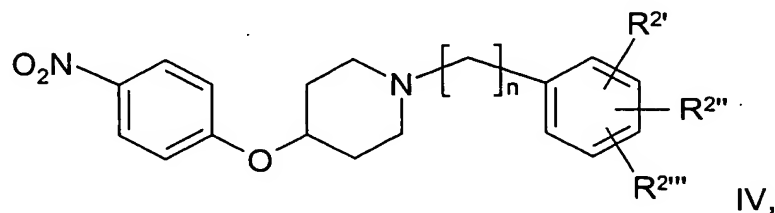
isomers thereof, including mixtures thereof in all ratios, according to one or more of Claims 1 to 6, and at least one further medicament active ingredient.

- 5 13. Use of compounds according to one or more of Claims 1 to 6 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, for the preparation of a medicament for the prophylaxis or treatment of diseases in which the binding of one or more active ingredients present in the said medica-
10 ment to nicotinic and/or muscarinic acetylcholine receptors leads to an improvement in the clinical picture.
- 15 14. Use of compounds according to one or more of Claims 1 to 6 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, for the preparation of a medicament for the prophylaxis or treatment of schizophrenia, depression, anxiety states, dementia, Alzheimer's disease, Lewy bodies dementia, neurodegenerative diseases, Parkinson's disease, Huntington's disease, Tourette's syndrome, learning and memory
20 impairments, age-related memory impairment, amelioration of withdrawal symptoms in nicotine dependence, strokes or brain damage by toxic compounds.
- 25 15. Pharmaceutical composition, characterised by a content of at least one compound of the formula I and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, according to one or more of Claims 1 to 6.
- 30 16. Process for the preparation of pharmaceutical compositions according to Claim 15, characterised in that at least one compound of the formula I and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios,

according to one or more of Claims 1 to 6 is converted into a suitable dosage form together with at least one solid, liquid or semi-liquid excipient or adjuvant.

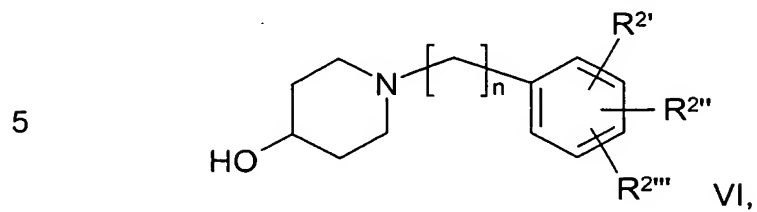
- 5 17. Set (kit) consisting of separate packs of
- (a) an effective amount of a compound of the formula I according
- to one or more of Claims 1 to 6 and/or pharmaceutically usable deri-
- vatives, solvates and stereoisomers thereof, including mixtures
- thereof in all ratios,
- 10 and
- (b) an effective amount of a further medicament active ingredient.
18. Use of compounds of the formula I and/or pharmaceutically usable
- derivatives, solvates and stereoisomers thereof, including mixtures
- 15 thereof in all ratios, according to one or more of Claims 1 to 6,
- for the preparation of a medicament for the prophylaxis or treatment
- of schizophrenia, depression, anxiety states, dementia, Alzheimer's
- disease, Lewy bodies dementia, neurodegenerative diseases, Parkin-
- 20 son's disease, Huntington's disease, Tourette's syndrome, learning
- and memory impairments, age-related memory impairment, ameliora-
- tion of withdrawal symptoms in nicotine dependence, strokes or brain
- damage by toxic compounds,
- in combination with at least one further medicament active ingredient.

- 25 19. Intermediate compounds of the formula IV



- 30 in which $R^{2'}$, $R^{2''}$, $R^{2'''}$ and n are as defined in Claim 1,
- and salts thereof.

20. Intermediate compounds of the formula VI



in which $R^{2'}$, $R^{2''}$, $R^{2'''}$ and n are as defined in Claim 1,
and salts thereof.

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